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Docket No.: 5000-0172PUS1  
(PATENT)

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

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In re Patent Application of:  
Thomas GROTE et al.

Application No.: 10/579,396

Confirmation No.: N/A

Filed: May 15, 2006

Art Unit: N/A

For: FUNGICIDAL MIXTURES FOR  
CONTROLLING RICE PATHOGENS

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Examiner: Not Yet Assigned

**LETTER**

Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on May 15, 2006, attached hereto is an English Translation of the International Preliminary Report on Patentability issued by the International Bureau on behalf of the International Searching Authority. Please make this document of record for the above-identified application.

Application No.: 10/579,396

Docket No.: 5000-0172PUS1

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: October 30, 2006

Respectfully submitted,

By 

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Registration No.: 32,868

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Attachments **PCT/IB/338, PCT/IB/373,**  
**PCT/ISA/237**

## PATENT COOPERATION TREATY

PCT/EP2004/013066

D-Noelanded  
US - Babylons  
US - Biron

From the INTERNATIONAL BUREAU

**PCT**

NOTIFICATION OF TRANSMITTAL  
OF COPIES OF TRANSLATION  
OF THE INTERNATIONAL PRELIMINARY REPORT  
ON PATENTABILITY  
(CHAPTER I OR CHAPTER II  
OF THE PATENT COOPERATION TREATY)  
(PCT Rules 44bis.3(c) and 72.2)

Global Intellectual Property

12. Sep. 2006

BASF AKTIENGESELLSCHAFT  
67056 Ludwigshafen  
ALLEMAGNE

1. AST / *AS*  
2. REF

Date of mailing (day/month/year) 08 September 2006 (08.09.2006)		
Applicant's or agent's file reference 0000055101	IMPORTANT NOTIFICATION	
International application No. PCT/EP2004/013066	International filing date (day/month/year) 18 November 2004 (18.11.2004)	
Applicant BASF AKTIENGESELLSCHAFT et al		

*El: Phase beendet 28.04.2006*

## 1. Transmittal of the translation to the applicant.



The International Bureau transmits herewith a copy of the English translation of the international preliminary report on patentability (Chapter I).



The International Bureau transmits herewith a copy of the English translation of the international preliminary report on patentability (Chapter II).

## 2. Transmittal of the copy of the translation to the designated or elected Offices.

The International Bureau notifies the applicant that copies of that translation have been transmitted to the following designated or elected Offices requiring such translation:

None

The following designated or elected Offices, having waived the requirement for such a transmittal at this time, will receive copies of that translation from the International Bureau only upon their request:

AE, AG, AL, AM, AP, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EA, EC, EE, EG, EP, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OA, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

## 3. Reminder regarding translation into (one of) the official language(s) of the elected Office(s).

The applicant is reminded that, where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary report on patentability (Chapter II).

It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned within the applicable time limit (Rule 74.1). See Volume II of the PCT Applicant's Guide for further details.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Agnes Wittmann-Regis
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# PATENT COOPERATION TREATY

# PCT

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 0000055101	<b>FOR FURTHER ACTION</b>	See item 4 below
International application No. PCT/EP2004/013066	International filing date ( <i>day/month/year</i> ) 18 November 2004 (18.11.2004)	Priority date ( <i>day/month/year</i> ) 27 November 2003 (27.11.2003)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant BASF AKTIENGESELLSCHAFT		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 *bis*.1(a).
2. This REPORT consists of a total of 11 sheets, including this cover sheet.  
  
In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- |                                     |              |   |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I    | Basis of the report   |
| <input checked="" type="checkbox"/> | Box No. II   | Priority  |
| <input type="checkbox"/>            | Box No. III  | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability  |
| <input type="checkbox"/>            | Box No. IV   | Lack of unity of invention  |
| <input checked="" type="checkbox"/> | Box No. V    | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/>            | Box No. VI   | Certain documents cited   |
| <input type="checkbox"/>            | Box No. VII  | Certain defects in the international application  |
| <input type="checkbox"/>            | Box No. VIII | Certain observations on the international application   |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland  Facsimile No. +41 22 338 82 70	Date of issuance of this report 29 August 2006 (29.08.2006)  Authorized officer  <p style="text-align: center; font-weight: bold;">Agnes Wittmann-Regis</p> e-mail: pt06@wipo.int
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# PATENT COOPERATION TREATY

TRANSLATION

From the  
INTERNATIONAL SEARCHING AUTHORITY

## PCT

WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

To:

Date of mailing (day/month/year)	<b>See form PCT/ISA/210</b>
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Applicant's or agent's file reference <b>0000055101</b>		<b>FOR FURTHER ACTION</b> See paragraph 2 below	
International application No. <b>PCT/EP2004/013066</b>	International filing date (day/month/year) <b>18.11.2004</b>	Priority date (day/month/year) <b>27.11.2003</b>	
International Patent Classification (IPC) or both national classification and IPC <b>A01N43/90</b>			
Applicant <b>BASF AKTIENGESELLSCHAFT</b>			

1. This opinion contains indications relating to the following items:

<input checked="" type="checkbox"/>	Box No. I	Basis of the opinion
<input checked="" type="checkbox"/>	Box No. II	Priority
<input type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
<input type="checkbox"/>	Box No. IV	Lack of unity of invention
<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
<input type="checkbox"/>	Box No. VI	Certain documents cited
<input type="checkbox"/>	Box No. VII	Certain defects in the international application
<input type="checkbox"/>	Box No. VIII	Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/EP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.  
☐ This opinion has been established on the basis of a translation from the original language into the following language  
\_\_\_\_\_, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).
2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material  
☐ a sequence listing  
☐ table(s) related to the sequence listing
  - b. format of material  
☐ in written format  
☐ in computer readable form
  - c. time of filing/furnishing  
☐ contained in the international application as filed.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

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Box No. II

Priority

1. ☒ The following document has not yet been furnished:

☒ copy of the earlier application whose priority has been claimed (Rule 43bis.1 and 66.7(a)).

☐ translation of the earlier application whose priority has been claimed (Rule 43bis.1 and 66.7(b)).

Consequently it has not been possible to consider the validity of the priority claim. This opinion has nevertheless been established on the assumption that the relevant date in the claimed priority date.

2. ☐ This opinion has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid (Rules 43bis.1 and 64.1). Thus for the purposes of this opinion, the international filing date indicated above is considered to be the relevant date.

3. Additional observations, if necessary:

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Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability:  
citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims	1-11	YES
	Claims		NO
Inventive step (IS)	Claims	1-11	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-11	YES
	Claims		NO

2. Citations and explanations:

The international search report refers to the following citations (D1-D7):

D1: US 6 268 371 B1

D2: WO 98/46607 A

D3: WO 98/53691 A

D4: US 5 593 996 A

D5: EP 0 988 790 A

D6: US 6 015 802 A

D7: WILLIAMS D J ET AL: "LS 74-783, A NEW SYSTEMIC FUNGICIDE WITH ACTIVITY AGAINST PHYCOMYCETE DISEASES" PROCEEDINGS OF THE BRITISH CROP PROTECTION CONFERENCE - PESTS AND DISEASES, XX, XX, No. 2, 1977, pages 565-573, XP008042531

**Novelty**

The subject matter of claims 1-11 is novel (PCT Article 33(1) and (2)).

The subject matter of independent claim 1 is fungicidal mixtures in particular for controlling rice pathogens which comprise the phosphoric acid  $H_3PO_3$ , their alkali or alkaline earth salts or derivatives releasing the same and a specific



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citations and explanations supporting such statement

fungicidal triazolopyrimidine (referred to hereinafter as TP1) at a synergistically effective amount. The other independent claims 5, 10, and 11 concern a method for controlling parasitic fungi by using mixtures of such a compound and seeds which result from such a method and contain such a mixture, and the use of both components for producing means for controlling parasitic fungi.

None of the documents cited disclose the specific mixtures which are the subject matter of the present application.

D1 discloses (see the passages cited in the international search report) synergistic mixtures comprising triazolopyrimidine, also known from D4 *inter alia*, with melanin biosynthesis blockers such as carpropamide, pyroquilon and fenoxanil. These mixtures are particularly effective against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus* which causes Brown Spot). The preferred triazolopyrimidines, referred to in D1 as azolopyrimidines A, C, and D (referred to hereinafter as TPa, TPb, and TPC), are the 6-(2-Cl-6-F-phenyl)-, the 7-(2,2,2-trifluorothylamino)-, and the 7-(1,1,1-trifluoropropyl-2-yl-amino) analogs of TP1.

D2 specifically discloses (see the passages cited in the international search report), *inter alia*, the TP1 compound (compound example 2). The compound is compared with TPa as to its activity against powdery mildew on grapes and is found to be superior. The possibility of mixing it with other fungicides, of which fosetyl aluminium is also listed, thereby possibly achieving a synergistic effect, is mentioned by not realised.

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citations and explanations supporting such statement

D3 discloses (see the passages cited in the international search report) synergistic mixtures of particular carbamate strobilurins with phosphoric acids of a particular formula, of which fosetyl and fosetyl-A1 are particularly preferred.

D4 discloses (see the passages cited in the international search report) the particular fungicides triazolopyrimidines, including TPa. The activity against *Pyricularia oryzae* on rice is demonstrated (see D4, examples 225 and 226).

D5 discloses (see the passages cited in the international search report) synergistic mixtures of triazolopyrimidines of a general formula, also including TP1, with 22 other fungicides or fungicide classes, not including phosphoric acid, its alkali or alkaline earth salts or derivates releasing the same. The preferred azolopyrimidines A, B, and C, which are used in the examples, are the above-mentioned TPa, TPb, and TPc.

D6 discloses (see the passages cited in the international search report) synergistic mixtures of strobilurin of a particular formula with phosphoric acid or one of its derivates. The preferred strobilurin is azoxystrobin, the preferred acid fosetyl-A1.

Finally, D7 discloses (see the passages cited in the international search report) fosetyl-A1 (LS 74-783) as a systemic fungicide which is particularly suited for controlling plant diseases caused by phycomycetes (oomycetes) such as *Phytophthora* and *Plasmopara viticola* (downy mildew) on, for example, useful tropical plants (e.g. pineapple) or

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citations and explanations supporting such statement

grape vines.

**Inventive step**

The subject matter of claims 1-11 involves an inventive step (PCT Articles 33(1) and (3)).

In light of the description and the closest prior art of cited document D1, the problem addressed by the present application can be considered that of providing synergistic mixtures of triazolopyrimidines with other fungicides, in particular those which are suited for controlling rice pathogens, in other words, which combine high systemic properties with favourable effectiveness against pathogens such as *Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*.

The proposed solution is characterised by use of the specific triazolopyrimidine TP1 in conjunction with phosphoric acid, its alkali or alkaline earth salts or derivatives that release them.

In view of the above-cited prior art, this combination is not an obvious solution of the problem.

From D1 (see above), synergistic mixtures of triazolopyrimidines, including TPa and TPc, with other fungicides, which differ clearly from phosphoric acid and its derivatives, are especially known for controlling rice pathogens.

It is emphasised in D2 that the 6-(2,4,6-trifluorophenyl)-triazolopyrimidines (such as TP1) disclosed therein have increased systemic and fungitoxic effects on rice pathogens

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(see D2, page 7, lines 9-11) as compared to the triazolopyrimidines (such as TPa and TPc) known from D4. The good activity of TP1, in particular, against *Pyricularia oryzae* (= *Pyricularia grisea* f. sp. *Oryzae*, Teleomorph: *Magnaporthe* gr. f. sp. *oryzae*) and *Rhizoctonia solani* is shown in examples (see D2, table II).

In D2, a mixture with other fungicides is also proposed, including fosetyl-Al, which may also lead to a synergistic effect (see the passages cited from citation D2 in the search report).

In D5, mixtures of triazolopyrimidines of a general formula, comprising both TPa, TPb and TPc as well as TP1, with other fungicides are disclosed (see above). The synergistic mixtures are tested on a number of parasitic fungi, such as types of the species *Blumeria*, *Botrytis*, *Septoria*, *Erysiphe* and *Puccinia*, however not on a typical rice pathogen. Tests are also conducted on different useful plants such as wheat, barley, apples, cucumbers, tomatoes and grape vines, but not on rice.

However, the triazolopyrimidines of the general formula are known as being effective against rice pathogens from citation D4 where, for example, the effectiveness of TPa (compound 139 in D4) against *Pyricularia oryzae* is demonstrated in an example (see example 226).

However, in order to arrive at the combination according to the invention on the basis of D1, it is necessary to specifically replace one of the triazolopyrimidines preferred therein, e.g. TPc, with the TP1 mentioned along with other

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triazolopyrimidines in D2. In addition, it would be necessary to exchange the fungicides used there such as carpropamid, pyroquilon and fenoxanil, which are known as typical rice fungicides, and which are not similar to phosphoric acid either in their structure or in their mechanism of action - they are melanin biosynthesis blockers - with precisely that acid.

In view of the problem of especially providing a means for controlling rice pathogens, that choice is not obvious.

Phosphoric acid is not known to be particularly effective against such pathogens. Correspondingly in D3, the herbicidal fungi against which these mixtures are particularly active are quoted in a list which includes, amongst others, *Pyricularia oryzae*, and is almost identical with that of the present application (compare D3, page 5, line 44 - page 6, line 29 with the description on page 2, line 19 - page 3, line 5, and page 3, lines 19, 20. It is noted further that *Cercospora arachidicola* is the anamorph of *Mycosphaerella arachides* and that *Helminthosporium oryzae*, *Bipolaris oryzae* and *Drechslera oryzae* are all synonyms for the species *Cochliobolus miyabeanus*). However, those mixtures are only tested against *Plasmopara viticola* (Oomycetes) on vines.

The typical rice pathogens and rice are not mentioned in the extensive lists of fungi to be controlled and useful plants to be treated which are cited for the mixtures in D6.

*Helminthosporium* and *Rhizoctonia solani* are merely listed as pathogens in connection with the treatment of grass lawns.

Fosetyl-Al (see D7) is particularly known as a fungicide for

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controlling phycomycetes (Oomycetes). They are related to brown alga and have a significantly different taxonomy, morphology and physiology from real fungi including the typical rice pathogens.

The mixtures proposed would also not be obvious for controlling oomycetes. The triazolopyrimidines known from D1, D2, D4, and D5 are, unlike fosetyl-Al, not known as being particularly effective against oomycetes. Accordingly, D2 demonstrates that TP1 is quite effective against the ascomycetes *Botrytis cinerea* and *Uncinula necator* (powdery vine mildew), but not against the oomycete *Phytophthora infestans* (see D2, pages 23-26).

It was even more unlikely that the mixtures proposed would show a synergistic increase in activity against the rice pathogen *Cochliobolus miyabeanus*, as demonstrated in the application.

Thus, the proposed solution of combining the triazolopyrimidine TP1 with phosphoric acid, its alkali or alkaline earth salts or its derivatives that release them is not obvious.

**Industrial applicability**

The subject matter of claims 1-11 is considered industrially applicable (PCT Articles 33(1) and (4)).